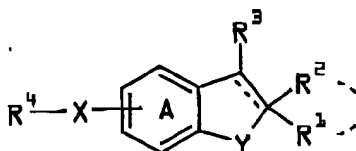


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In the Claims

1. (THRICE AMENDED) A compound of the formula:



wherein R^1 and R^2 each represent an acyclic hydrocarbon group, a cycloalkyl group, or R^1 and R^2 form, taken together with the adjacent carbon atom, a 3- to 8-membered substituted or unsubstituted carbo or heterocyclic ring [which may be substituted];

R^3 represents an unsubstituted or substituted aromatic group [which may be substituted];

R^4 represents (1) an unsubstituted or substituted aromatic group [which may be substituted], (2) an aliphatic hydrocarbon group substituted by an unsubstituted or substituted aromatic group [which may be substituted], which hydrocarbon group [may be] is optionally further substituted or (3) an acyl;

X and Y each [represents] represent an oxygen atom [or a sulfur atom which may be oxidized];

— represents a single bond or a double bond; and

ring A represents a benzene ring [which may be] optionally further substituted apart

from the group of the formula: $-X-R^4$ wherein each symbol is as defined above,

provided that when [X and Y are oxygen atoms and] — is a single bond, R^4 is not an

acyl,

or a salt thereof.

2. (TWICE AMENDED) A compound of Claim 1,

wherein R^1 and R^2 each is a C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, C_{3-6} cycloalkyl or R^1 and R^2 form, taken together with the adjacent carbon atom, a C_{3-8} cycloalkane or a 3- to 8-membered heterocyclic ring, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl, C_{7-16} aralkyl, amino, mono- C_{1-6} alkylamino, mono- C_{6-14} arylamino, di- C_{1-6} alkylamino, di- C_{6-14} arylamino and 5- to 10-membered aromatic heterocyclic group;

R^3 is a C_{6-14} aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms,

each of which [may be] is optionally substituted by 1 to 3 substituents selected

from the group consisting of

- (1) halogen atoms,
- (2) C_{1-3} alkylenedioxy,
- (3) nitro,
- (4) cyano,
- (5) [optionally] halogenated or unhalogenated C_{1-6} alkyl,
- (6) [optionally] halogenated or unhalogenated C_{2-6} alkenyl,
- (7) [optionally] halogenated or unhalogenated C_{2-6} alkynyl,
- (8) [optionally] halogenated or unhalogenated C_{3-6} cycloalkyl,
- (9) [optionally] halogenated or unhalogenated C_{1-6} alkoxy,
- (10) [optionally] halogenated or unhalogenated C_{1-6} alkylthio,

- (11) hydroxy,
- (12) amino,
- (13) mono- C_{1-6} alkylamino,
- (14) di- C_{1-6} alkylamino,
- (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino [which may be] substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group,
- (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl,
- (17) acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino,
- (18) acyloxy selected from the group consisting of C_{1-6} alkyl-carbonyloxy, C_{6-14} aryl-carbonyloxy, C_{1-6} alkoxy-carbonyloxy, mono- C_{1-6} alkyl-carbamoyloxy, di- C_{1-6} alkyl-carbamoyloxy, C_{6-14} aryl-carbamoyloxy and nicotinoyloxy,
- (19) sulfo,

(20) C₆₋₁₄ aryl and

(21) C₆₋₁₄ aryloxy;

R⁴ is (i) a C₆₋₁₄ aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of

- (1) halogen atoms,
- (2) C₁₋₃ alkylenedioxy,
- (3) nitro,
- (4) cyano,
- (5) [optionally] halogenated or unhalogenated C₁₋₆ alkyl,
- (6) [optionally] halogenated or unhalogenated C₂₋₆ alkenyl,
- (7) [optionally] halogenated or unhalogenated C₂₋₆ alkynyl,
- (8) [optionally] halogenated or unhalogenated C₃₋₆ cycloalkyl,
- (9) [optionally] halogenated or unhalogenated C₁₋₆ alkoxy,
- (10) [optionally] halogenated or unhalogenated C₁₋₆ alkylthio,
- (11) hydroxy,
- (12) amino,
- (13) mono-C₁₋₆ alkylamino,
- (14) di-C₁₋₆ alkylamino,
- (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino [which may be] substituted by 1 to 3 substituents selected from the

group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic heterocyclic group,

(16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, C₆₋₁₄ aryl-carbonyl, C₇₋₁₆ aralkyl-carbonyl, C₆₋₁₄ aryloxy-carbonyl, C₇₋₁₆ aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C₁₋₆ alkyl-carbamoyl, di-C₁₋₆ alkyl-carbamoyl, C₆₋₁₄ aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C₁₋₆ alkylsulfonyl, C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄ arylsulfinyl,

(17) acylamino selected from the group consisting of formylamino, C₁₋₆ alkyl-carboxamido, C₆₋₁₄ aryl-carboxamido, C₁₋₆ alkoxy-carboxamido, C₁₋₆ alkylsulfonylamino and C₆₋₁₄ arylsulfonylamino,

(18) acyloxy selected from the group consisting of C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-carbonyloxy, mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆ alkyl-carbamoyloxy, C₆₋₁₄ aryl-carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C₆₋₁₄ aryl and

(21) C₆₋₁₄ aryloxy,

(ii) an aliphatic hydrocarbon group selected from the group consisting of C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl and C₃₋₆ cycloalkyl,

which hydrocarbon group substituted by 1 to 3 C₆₋₁₄ aryl or 5- to 14-

membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms,

each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of

- (1) halogen atoms,
- (2) C₁₋₃ alkylenedioxy,
- (3) nitro,
- (4) cyano,
- (5) [optionally] halogenated or unhalogenated C₁₋₆ alkyl,
- (6) [optionally] halogenated or unhalogenated C₂₋₆ alkenyl,
- (7) [optionally] halogenated or unhalogenated C₂₋₆ alkynyl,
- (8) [optionally] halogenated or unhalogenated C₃₋₆ cycloalkyl,
- (9) [optionally] halogenated or unhalogenated C₁₋₆ alkoxy,
- (10) [optionally] halogenated or unhalogenated C₁₋₆ alkylthio,
- (11) hydroxy,
- (12) amino,
- (13) mono-C₁₋₆ alkylamino,
- (14) di-C₁₋₆ alkylamino,

(15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino [which may be] substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group,

(16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl,

(17) acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino,

(18) acyloxy selected from the group consisting of C_{1-6} alkyl-carbonyloxy, C_{6-14} aryl-carbonyloxy, C_{1-6} alkoxy-carbonyloxy, mono- C_{1-6} alkyl-carbamoyloxy, di- C_{1-6} alkyl-carbamoyloxy, C_{6-14} aryl-carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C₆₋₁₄ aryl and

(21) C₆₋₁₄ aryloxy,

which hydrocarbon group [may be] are optionally further substituted by

1 to 5 substituents selected from the group consisting of

(1) halogen atoms,

(2) C₁₋₃ alkylenedioxy,

(3) nitro,

(4) cyano,

(5) [optionally] halogenated or unhalogenated C₁₋₆ alkyl,

(6) [optionally] halogenated or unhalogenated C₂₋₆ alkenyl,

(7) [optionally] halogenated or unhalogenated C₂₋₆ alkynyl,

(8) [optionally] halogenated or unhalogenated C₃₋₆ cycloalkyl,

(9) C₆₋₁₄ aryl,

(10) [optionally] halogenated or unhalogenated C₁₋₆ alkoxy,

(11) [optionally] halogenated or unhalogenated C₁₋₆ alkylthio,

(12) hydroxy,

(13) amino,

(14) mono-C₁₋₆ alkylamino,

(15) mono-C₆₋₁₄ arylamino,

(16) di-C₁₋₆ alkylamino,

(17) di-C₆₋₁₄ arylamino,

(18) acyl selected from the group consisting of formyl, carboxy,

carbamoyl, C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl,

C₁₋₆ alkoxy-carbonyl, C₆₋₁₄ aryl-carbonyl, C₇₋₁₆ aralkyl-

carbonyl, C₆₋₁₄ aryloxy-carbonyl, C₇₋₁₆ aralkyloxy-carbonyl,
 5- or 6-membered heterocycle carbonyl, mono-C₁₋₆ alkyl-
 carbamoyl, di-C₁₋₆ alkyl-carbamoyl, C₆₋₁₄ aryl-carbamoyl,
 5- or 6-membered heterocycle carbamoyl, C₁₋₆
 alkylsulfonyl, C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄
 arylsulfinyl,

- (19) acylamino selected from the group consisting of
 formylamino, C₁₋₆ alkyl-carboxamido, C₆₋₁₄ aryl-
 carboxamido, C₁₋₆ alkoxy-carboxamido, C₁₋₆
 alkylsulfonylamino and C₆₋₁₄ arylsulfonylamino,
- (20) acyloxy selected from the group consisting of C₁₋₆ alkyl-
 carbonyloxy, C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-
 carbonyloxy, mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆ alkyl-
 carbamoyloxy, C₆₋₁₄ aryl-carbamoyloxy and nicotinoyloxy,
- (21) 5- to 7-membered saturated unsubstituted or substituted
 cyclic amino; said substituted cyclic amino [which may
 be] substituted by 1 to 3 substituents selected from the
 group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-
 membered aromatic heterocyclic group,

(22) 5- to 10-membered aromatic heterocyclic group and

(23) sulfo, or

(iii) an acyl of the formula: $-(C=O)-R^5$, $-(C=O)-OR^5$, $-(C=O)-NR^5R^6$, $-(C=S)-$
 NHR^5 , $-SO_2-R^{5a}$ or $-SO-R^{5a}$

wherein R⁵ is

(a) a hydrogen atom,

(b) a C₆₋₁₄ aryl or a 5- to 14-membered aromatic

heterocyclic group containing 1 to 4 hetero atoms

selected from the group consisting of nitrogen,

sulfur and oxygen atoms in addition to carbon

atoms, each of which [may be] is optionally

substituted by 1 to 3 substituents selected from the

group consisting of

(1) halogen atoms,

(2) C₁₋₃ alkylenedioxy,

(3) nitro,

(4) cyano,

(5) [optionally] halogenated or unhalogenated C₁₋₆
alkyl,

(6) [optionally] halogenated or unhalogenated C₂₋₆
alkenyl,

(7) [optionally] halogenated or unhalogenated C₂₋₆
alkynyl,

(8) [optionally] halogenated or unhalogenated C₃₋₆
cycloalkyl,

(9) [optionally] halogenated or unhalogenated C₁₋₆
alkoxy,

(10) [optionally] halogenated or unhalogenated
C₁₋₆ alkylthio,

(11) hydroxy,

(12) amino,

- (13) mono- C_{1-6} alkylamino,
- (14) di- C_{1-6} alkylamino,
- (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino [which may be] substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group,
- (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl,
- (17) acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino,

(18) acyloxy selected from the group consisting of

C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-carbonyloxy, mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆ alkyl-carbamoyloxy, C₆₋₁₄ aryl-carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C₆₋₁₄ aryl and

(21) C₆₋₁₄ aryloxy, or

(c) a C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl or C₃₋₆ cycloalkyl

unsubstituted or substituted group; said

substituted group [which may be] substituted by 1

to 5 substituents selected from the group consisting

of

(1) C₆₋₁₄ aryl or 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms,

each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of

(1') halogen atoms,

(2') C₁₋₃ alkylendioxy,

(3') nitro,

(4') cyano,

(5') [optionally] halogenated or

unhalogenated C₁₋₆ alkyl,

(6') [optionally] halogenated or

unhalogenated C₂₋₆ alkenyl,

(7') [optionally] halogenated or

unhalogenated C₂₋₆ alkynyl,

(8') [optionally] halogenated or

unhalogenated C₃₋₆ cycloalkyl,

(9') [optionally] halogenated or

unhalogenated C₁₋₆ alkoxy,

(10') [optionally] halogenated or

unhalogenated C₁₋₆ alkylthio,

(11') hydroxy,

(12') amino,

(13') mono-C₁₋₆ alkylamino,

(14') di-C₁₋₆ alkylamino,

(15') 5- to 7-membered saturated

substituted or unsubstituted cyclic

amino; said substituted cyclic

amino [which may be] substituted

by 1 to 3 substituents selected from

the group consisting of C₁₋₆ alkyl, C₆

₁₄ aryl and 5- to 10-membered

aromatic heterocyclic group,

(16') acyl selected from the group consisting

of formyl, carboxy, carbamoyl, C₁₋₆

alkyl-carbonyl, C₃₋₆ cycloalkyl-

carbonyl, C₁₋₆ alkoxy-carbonyl, C₆₋₁₄

aryl-carbonyl, C₇₋₁₆ aralkyl-carbonyl,

C₆₋₁₄ aryloxy-carbonyl, C₇₋₁₆

aralkyloxy-carbonyl, 5- or 6-

membered heterocycle carbonyl;

mono-C₁₋₆ alkyl-carbamoyl, di-C₁₋₆

alkyl-carbamoyl, C₆₋₁₄ aryl-

carbamoyl, 5- or 6-membered

heterocycle carbamoyl, C₁₋₆

alkylsulfonyl, C₆₋₁₄ arylsulfonyl, C₁₋₆

alkylsulfinyl and C₆₋₁₄ arylsulfinyl;

(17') acylamino selected from the group

consisting of formylamino, C₁₋₆

alkyl-carboxamido, C₆₋₁₄ aryl-

carboxamido, C₁₋₆ alkoxy-

carboxamido, C₁₋₆

alkylsulfonylamino and C₆₋₁₄

arylsulfonylamino,

(18') acyloxy selected from the group

consisting of C₁₋₆ alkyl-carbonyloxy,

C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-

carbonyloxy, mono-C₁₋₆ alkyl-

carbamoyloxy, di-C₁₋₆ alkyl-

carbamoyloxy, C₆₋₁₄ aryl-

carbamoyloxy and nicotinoyloxy,

(19') sulfo,

(20') C₆₋₁₄ aryl and

(21') C₆₋₁₄ aryloxy,

(2) halogen atoms,

(3) C₁₋₃ alkylenedioxy,

(4) nitro,

(5) cyano,

(6) [optionally] halogenated or unhalogenated C₁₋₆

alkyl,

(7) [optionally] halogenated or unhalogenated C₂₋₆

alkenyl,

(8) [optionally] halogenated or unhalogenated C₂₋₆

alkynyl,

(9) [optionally] halogenated or unhalogenated C₃₋₆

cycloalkyl,

(10) [optionally] halogenated or unhalogenated

C₁₋₆ alkoxy,

(11) [optionally] halogenated or unhalogenated

C₁₋₆ alkylthio,

(12) hydroxy,

(13) amino,

(14) mono-C₁₋₆ alkylamino,

(15) di- C_{1-6} alkylamino,

(16) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino [which may be] substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkyl, C_{6-14} aryl and 5- to 10-membered aromatic heterocyclic group,

(17) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C_{1-6} alkyl-carbonyl, C_{3-6} cycloalkyl-carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14} aryl-carbonyl, C_{7-16} aralkyl-carbonyl, C_{6-14} aryloxy-carbonyl, C_{7-16} aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6} alkyl-carbamoyl, C_{6-14} aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C_{1-6} alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6} alkylsulfinyl and C_{6-14} arylsulfinyl,

(18) acylamino selected from the group consisting of formylamino, C_{1-6} alkyl-carboxamido, C_{6-14} aryl-carboxamido, C_{1-6} alkoxy-carboxamido, C_{1-6} alkylsulfonylamino and C_{6-14} arylsulfonylamino,

(19) acyloxy selected from the group consisting of

C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-carbonyloxy, mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆ alkyl-carbamoyloxy, C₆₋₁₄ aryl-carbamoyloxy and nicotinoyloxy and

(20) sulfo;

R^{5a} is

(a) a C₆₋₁₄ aryl or a 5- to 14-membered aromatic heterocyclic group containing 1 to 4 hetero atoms selected from the group consisting of nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of

- (1) halogen atoms,
- (2) C₁₋₃ alkylenedioxy,
- (3) nitro,
- (4) cyano,
- (5) [optionally] halogenated or unhalogenated C₁₋₆ alkyl,
- (6) [optionally] halogenated or unhalogenated C₂₋₆ alkenyl,
- (7) [optionally] halogenated or unhalogenated C₂₋₆ alkynyl,

(8) [optionally] halogenated or unhalogenated C₃₋₆

cycloalkyl,

(9) [optionally] halogenated or unhalogenated C₁₋₆

alkoxy,

(10) [optionally] halogenated or unhalogenated

C₁₋₆ alkylthio,

(11) hydroxy,

(12) amino,

(13) mono-C₁₋₆ alkylamino,

(14) di-C₁₋₆ alkylamino,

(15) 5- to 7-membered saturated unsubstituted or

substituted cyclic amino; said substituted

cyclic amino group [which may be]

substituted by 1 to 3 substituents selected

from the group consisting of C₁₋₆ alkyl, C₆₋₁₄

aryl and 5- to 10-membered aromatic

heterocyclic group,

(16) acyl selected from the group consisting of

formyl, carboxy, carbamoyl, C₁₋₆ alkyl-

carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₁₋₆

alkoxy-carbonyl, C₆₋₁₄ aryl-carbonyl, C₇₋₁₆

aralkyl-carbonyl, C₆₋₁₄ aryloxy-carbonyl, C₇₋

₁₆ aralkyloxy-carbonyl, 5- or 6-membered

heterocycle carbonyl, mono-C₁₋₆ alkyl-

carbamoyl, di-C₁₋₆ alkyl-carbamoyl, C₆₋₁₄

aryl-carbamoyl, 5- or 6-membered

heterocycle carbamoyl, C₁₋₆ alkylsulfonyl,

C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄

arylsulfinyl,

(17) acylamino selected from the group consisting

of formylamino, C₁₋₆ alkyl-carboxamido, C₆₋

₁₄ aryl-carboxamido, C₁₋₆ alkoxy-

carboxamido, C₁₋₆ alkylsulfonylamino and

C₆₋₁₄ arylsulfonylamino,

(18) acyloxy selected from the group consisting of

C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-

carbonyloxy, C₁₋₆ alkoxy-carbonyloxy,

mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆

alkyl-carbamoyloxy, C₆₋₁₄ aryl-

carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C₆₋₁₄ aryl and

(21) C₆₋₁₄ aryloxy, or

(b) a C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkynyl or C₃₋₆ cycloalkyl

group [which may be] optionally substituted by 1

to 5 substituents selected from the group consisting

of

(1) a C₆₋₁₄ aryl or 5- to 14-membered aromatic

heterocyclic group containing 1 to 4 hetero

atoms selected from the group consisting of

nitrogen, sulfur and oxygen atoms in addition to carbon atoms, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of

- (1') halogen atoms,
- (2') C₁₋₃ alkylendioxy,
- (3') nitro,
- (4') cyano,
- (5') [optionally] halogenated or
unhalogenated C₁₋₆ alkyl,
- (6') [optionally] halogenated or
unhalogenated C₂₋₆ alkenyl,
- (7') [optionally] halogenated or
unhalogenated C₂₋₆ alkynyl,
- (8') [optionally] halogenated or
unhalogenated C₃₋₆ cycloalkyl,
- (9') [optionally] halogenated or
unhalogenated C₁₋₆ alkoxy,
- (10') [optionally] halogenated or
unhalogenated C₁₋₆ alkylthio,
- (11') hydroxy,
- (12') amino,
- (13') mono-C₁₋₆ alkylamino,
- (14') di-C₁₋₆ alkylamino,

(15') 5- to 7-membered saturated

unsubstituted or substituted cyclic

amino; said substituted cyclic

amino [which may be] substituted

by 1 to 3 substituents selected from

the group consisting of C_{1-6} alkyl, C_{6-14}

aryl and 5- to 10-membered

aromatic heterocyclic group,

(16') acyl selected from the group consisting

of formyl, carboxy, carbamoyl, C_{1-6}

alkyl-carbonyl, C_{3-6} cycloalkyl-

carbonyl, C_{1-6} alkoxy-carbonyl, C_{6-14}

aryl-carbonyl, C_{7-16} aralkyl-carbonyl,

C_{6-14} aryloxy-carbonyl, C_{7-16}

aralkyloxy-carbonyl, 5- or 6-

membered heterocycle carbonyl,

mono- C_{1-6} alkyl-carbamoyl, di- C_{1-6}

alkyl-carbamoyl, C_{6-14} aryl-

carbamoyl, 5- or 6-membered

heterocycle carbamoyl, C_{1-6}

alkylsulfonyl, C_{6-14} arylsulfonyl, C_{1-6}

alkylsulfinyl and C_{6-14} arylsulfinyl,

(17') acylamino selected from the group

consisting of formylamino, C_{1-6}

alkyl-carboxamido, C_{6-14} aryl-

carboxamido, C₁₋₆ alkoxy-

carboxamido, C₁₋₆

alkylsulfonylamino and C₆₋₁₄

arylsulfonylamino,

(18') acyloxy selected from the group

consisting of C₁₋₆ alkyl-carbonyloxy,

C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-

carbonyloxy, mono-C₁₋₆ alkyl-

carbamoyloxy, di-C₁₋₆ alkyl-

carbamoyloxy, C₆₋₁₄ aryl-

carbamoyloxy and nicotinoyloxy,

(19') sulfo,

(20') C₆₋₁₄ aryl and

(21') C₆₋₁₄ aryloxy,

(2) halogen atoms,

(3) C₁₋₃ alkylenedioxy,

(4) nitro,

(5) cyano,

(6) [optionally] halogenated or unhalogenated C₁₋₆

alkyl,

(7) [optionally] halogenated or unhalogenated C₂₋₆

alkenyl,

(8) [optionally] halogenated or unhalogenated C₂₋₆

alkynyl,

- (9) [optionally] halogenated or unhalogenated C₃₋₆ cycloalkyl,
- (10) [optionally] halogenated or unhalogenated C₁₋₆ alkoxy,
- (11) [optionally] halogenated or unhalogenated C₁₋₆ alkylthio,
- (12) hydroxy,
- (13) amino,
- (14) mono-C₁₋₆ alkylamino,
- (15) di-C₁₋₆ alkylamino,
- (16) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino [which may be] substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic heterocyclic group,
- (17) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, C₆₋₁₄ aryl-carbonyl, C₇₋₁₆ aralkyl-carbonyl, C₆₋₁₄ aryloxy-carbonyl, C₇₋₁₆ aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C₁₋₆ alkyl-carbamoyl, di-C₁₋₆ alkyl-carbamoyl, C₆₋₁₄ aryl-carbamoyl, 5- or 6-membered

heterocycle carbamoyl, C₁₋₆ alkylsulfonyl,
C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄
arylsulfinyl,

(18) acylamino selected from the group consisting
of formylamino, C₁₋₆ alkyl-carboxamido, C₆₋₁₄
aryl-carboxamido, C₁₋₆ alkoxy-
carboxamido, C₁₋₆ alkylsulfonylamino and
C₆₋₁₄ arylsulfonylamino,

(19) acyloxy selected from the group consisting of
C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-
carbonyloxy, C₁₋₆ alkoxy-carbonyloxy,
mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆
alkyl-carbamoyloxy, C₆₋₁₄ aryl-
carbamoyloxy and nicotinoyloxy and

(20) sulfo; and

R⁶ is a hydrogen atom or a C₁₋₆ alkyl; and

ring A is a benzene ring [which may be] optionally further substituted by 1 to 3
substituents selected from the group consisting of

- (1) halogen atoms,
- (2) C₁₋₃ alkylendioxy,
- (3) nitro,
- (4) cyano,
- (5) [optionally] halogenated or unhalogenated C₁₋₆ alkyl,
- (6) [optionally] halogenated or unhalogenated C₂₋₆ alkenyl,
- (7) [optionally] halogenated or unhalogenated C₂₋₆ alkynyl,

- (8) [opti nally] halogenated or unhalogenated C₃₋₆ cycloalkyl,
- (9) [optionally] halogenated or unhalogenated C₁₋₆ alkoxy,
- (10) [optionally] halogenated or unhalogenated C₁₋₆ alkylthio,
- (11) hydroxy,
- (12) amino,
- (13) mono-C₁₋₆ alkylamino,
- (14) di-C₁₋₆ alkylamino,
- (15) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said cyclic amino [which may be] substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic heterocyclic group,
- (16) acyl selected from the group consisting of formyl, carboxy, carbamoyl, C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₁₋₆ alkoxy-carbonyl, C₆₋₁₄ aryl-carbonyl, C₇₋₁₆ aralkyl-carbonyl, C₆₋₁₄ aryloxy-carbonyl, C₇₋₁₆ aralkyloxy-carbonyl, 5- or 6-membered heterocycle carbonyl, mono-C₁₋₆ alkyl-carbamoyl, di-C₁₋₆ alkyl-carbamoyl, C₆₋₁₄ aryl-carbamoyl, 5- or 6-membered heterocycle carbamoyl, C₁₋₆ alkylsulfonyl, C₆₋₁₄ arylsulfonyl, C₁₋₆ alkylsulfinyl and C₆₋₁₄ arylsulfinyl,
- (17) acylamino selected from the group consisting of formylamino, C₁₋₆ alkyl-carboxamido, C₆₋₁₄ aryl-carboxamido, C₁₋₆ alkoxy-carboxamido, C₁₋₆ alkylsulfonylamino and C₆₋₁₄ arylsulfonylamino,
- (18) acyloxy selected from the group consisting of C₁₋₆ alkyl-carbonyloxy, C₆₋₁₄ aryl-carbonyloxy, C₁₋₆ alkoxy-carbonyloxy,

mono-C₁₋₆ alkyl-carbamoyloxy, di-C₁₋₆ alkyl-carbamoyloxy, C₆₋₁₄
aryl-carbamoyloxy and nicotinoyloxy,

(19) sulfo,

(20) C₆₋₁₄ aryl and

(21) C₆₋₁₄ aryloxy.

3. (TWICE AMENDED) A compound of Claim 1, wherein R¹ and R² each is a C₁₋₆ alkyl or R¹ and R² form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic unsubstituted or substituted ring [which may be substituted].

5. (AMENDED) A compound of Claim 1, wherein R⁴ is (i) an aliphatic hydrocarbon group substituted by an unsubstituted or substituted aromatic group [which may be substituted], which hydrocarbon group may further be substituted or (ii) an acyl.

10. (TWICE AMENDED) A compound of Claim 1,
wherein R¹ and R² each is a C₁₋₆ alkyl or R¹ and R² form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic unsubstituted or substituted ring; said substituted ring [which may be] substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl, C₇₋₁₆ aralkyl and 5- to 10-membered aromatic heterocyclic group;

R³ is a phenyl, 1-naphthyl, 2-naphthyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolyl, 3-quinolyl, 1-isoquinolyl, 1-indolyl, 2-indolyl or 2-benzothiazolyl group, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of

(1) halogen atoms,

- (2) C₁₋₆ alkyl,
- (3) C₁₋₆ alkoxy,
- (4) mono-C₁₋₆ alkylamino,
- (5) di-C₁₋₆ alkylamino and
- (6) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino [which may be] substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and 5- to 10-membered aromatic group;

R⁴ is

- (i) C₁₋₆ alkyl substituted by a phenyl, 1-naphthyl, 2-naphthyl, 2-thienyl, 3-thienyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-quinolyl, 3-quinolyl, 1-isoquinolyl, 1-indolyl, 2-indolyl or 2-benzothiazolyl group, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of
 - (1) halogen atoms,
 - (2) C₁₋₆ alkyl,
 - (3) C₁₋₆ alkoxy,
 - (4) hydroxy,
 - (5) amino,
 - (6) mono-C₁₋₆ alkylamino,
 - (7) di-C₁₋₆ alkylamino,
 - (8) carboxy and
 - (9) 5- to 7-membered saturated unsubstituted or substituted cyclic amino; said substituted cyclic amino [which may be] substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and

5- to 10-membered aromatic group, which C₁₋₆ alkyl may be further substituted by carboxy or C₁₋₆ alkoxy-carbonyl, or

- (ii) a C₁₋₆ alkyl-carbonyl, C₃₋₆ cycloalkyl-carbonyl, C₆₋₁₄ aryl-carbonyl or C₇₋₁₆ aralkyl-carbonyl group, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C₁₋₆ alkyl, C₁₋₆ alkoxy, hydroxy, amino, mono-C₁₋₆ alkylamino, di-C₁₋₆ alkylamino and carboxy;

X is an oxygen atom;

Y is an oxygen atom; and

ring A is a benzene ring which [may be] is optionally further substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, [optionally] halogenated or unhalogenated C₁₋₆ alkyl, [optionally] halogenated or unhalogenated C₁₋₆ alkoxy, amino, mono-C₁₋₆ alkylamino and di-C₁₋₆ alkylamino.

11. (TWICE AMENDED) A compound of Claim 1,

wherein R¹ and R² each is a C₁₋₆ alkyl or R¹ and R² form, taken together with the adjacent carbon atom, a piperidine [which may be] optionally substituted by 1 to 3 substituents selected from the group consisting of C₁₋₆ alkyl, C₆₋₁₄ aryl and C₇₋₁₆ aralkyl;

R³ is a phenyl [which may be] optionally substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, mono-C₁₋₆ alkylamino and di-C₁₋₆ alkylamino;

R⁴ is

- (i) C₁₋₆ alkyl substituted by a phenyl or pyridyl, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of

halogen atoms, C₁₋₆ alkyl, C₁₋₆ alkoxy, hydroxy, amino, mono-C₁₋₆ alkylamino, di-C₁₋₆ alkylamino and carboxy, or

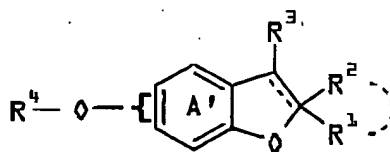
- (ii) an acyl of the formula: $-(C=O)-R^{5'}$ wherein R^{5'} is a phenyl or phenyl-C₁₋₆ alkyl, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, C₁₋₆ alkyl, C₁₋₆ alkoxy, hydroxy, amino, mono-C₁₋₆ alkylamino, di-C₁₋₆ alkylamino and carboxy;

X is an oxygen atom;

Y is an oxygen atom; and

ring A is a benzene ring which [may be] is optionally further substituted by 1 to 3 substituents selected from the group consisting of halogen atoms, [optionally] halogenated or unhalogenated C₁₋₆ alkyl, [optionally] halogenated or unhalogenated C₁₋₆ alkoxy, amino, mono-C₁₋₆ alkylamino and di-C₁₋₆ alkylamino.

12. (TWICE AMENDED) A compound of Claim 1 which is a compound of the formula:



wherein R¹ and R² each is C₁₋₆ alkyl or R¹ and R² form, taken together with the adjacent carbon atom, a piperidine substituted by a C₁₋₆ alkyl or a C₇₋₁₆ aralkyl;

R³ is a phenyl [which may be] optionally substituted by 1 to 3 substituents selected from the group consisting of (1) C₁₋₆ alkyl, (2) di-C₁₋₆ alkylamino and (3) 6-membered saturated cyclic amino [which may be] optionally substituted by a C₁₋₆ alkyl,

R⁴ is

- (i) a phenyl [which may be] optionally substituted by 1 to 3 substituents selected from the group consisting of nitro and C₁₋₆ alkyl-carboxamido,

(ii) a C_{1-6} alkyl or C_{2-6} alkenyl group substituted by 1 to 3 of phenyl, quinolyl or pyridyl, each of which [may be] is optionally substituted by 1 to 3 substituents selected from the group consisting of C_{1-6} alkoxy, C_{1-6} alkylthio, C_{1-6} alkoxy-carbonyl, C_{1-6} alkylsulfonyl and C_{1-6} alkylsulfinyl, which C_{1-6} alkyl or C_{2-6} alkenyl group [may be] is optionally further substituted by a phenyl, carboxy or C_{1-6} alkoxy-carbonyl, or

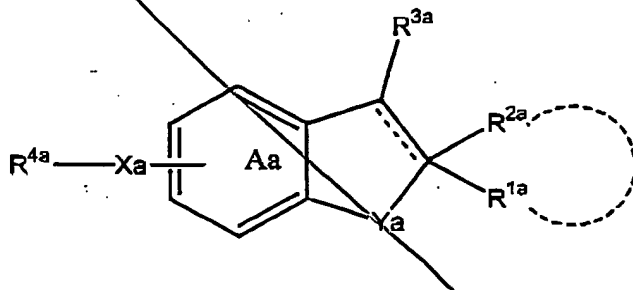
(iii) an acyl of the formula: $-(C=O)-R^{5''}$

wherein $R^{5''}$ is phenyl substituted by a C_{1-6} alkoxy; and

ring A' is a benzene ring which [may be] is optionally further substituted by 1 to 3 C_{1-6} alkyl.

13. (TWICE AMENDED) A compound of Claim 1 which is 3-(4-isopropylphenyl)-2,4,6,7-tetramethylbenzofuran-5-yl 4-methoxybenzoate, 3-(4-isopropylphenyl)-5-(4-methoxybenzyloxy)-2,4,6,7- [tetramethylbenzofuran,] tetramethylbenzofuran, 3-(4-isopropylphenyl)-5-(4-methoxybenzyloxy)-1',4,6,7-tetramethylspiro(benzofuran-2(3H), 4'-piperidine), or a salt thereof.

506
H2
22. (FOUR TIMES AMENDED) A method for suppressing β -amyloid toxicity in a mammal, which comprises administering to said mammal an effective amount of a compound of the formula:



H2
cont

wherein R^{1a} and R^{2a} each represents a hydrogen atom or a hydrocarbon group which [may be] is optionally substituted, or R^{1a} and R^{2a} form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic unsubstituted or substituted ring [which may be substituted];

R^{3a} represents a hydrogen atom[, a lower alkyl which may be substituted] or an unsubstituted or substituted aromatic group [which may be substituted];

R^{4a} represents an unsubstituted or substituted aromatic group [which may be substituted], an unsubstituted or substituted aliphatic hydrocarbon group [which may be substituted] or an acyl;

Xa represents an oxygen atom [or a sulfur atom which may be oxidized];

Ya represents an oxygen atom[, a sulfur atom which may be oxidized or an imino which may be substituted];

--- represents a single bond or a double bond;

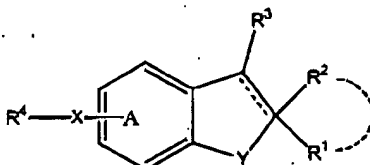
ring Aa represents a benzene ring which [may be] is optionally further substituted apart from (i) the group of the formula: -Xa-R^{4a} wherein each symbol is as defined above, and (ii) an unsubstituted or substituted amino [which may be substituted],

provided that when [Xa and Ya are oxygen atoms and] --- is a single bond, R^{4a} is not an acyl,

or a pharmaceutically acceptable salt thereof

with a pharmaceutically acceptable excipient, carrier or diluent.

25. (TWICE AMENDED) A method for suppressing β -amyloid toxicity in a mammal, which comprises administering to said mammal an effective amount of a compound of the formula:



wherein R^1 and R^2 each represent an acyclic hydrocarbon group, a cycloalkyl group, or

R^1 and R^2 form, taken together with the adjacent carbon atom, a 3- to 8-membered carbo or heterocyclic unsubstituted or substituted ring [which may be substituted];

R^3 represents an unsubstituted or substituted aromatic group [which may be substituted];

R^4 represents (1) an unsubstituted or substituted aromatic group [which may be substituted], (2) an aliphatic hydrocarbon group substituted by an unsubstituted or substituted aromatic group [which may be substituted], which hydrocarbon group [may be] is optionally further substituted or (3) an acyl;

X and Y each [represents] represent an oxygen atom [or a sulfur atom which may be oxidized];

==== represents a single bond or a double bond;

and Ring A represents a benzene which [may be] is optionally further substituted apart from the group of the formula: $-X-R^4$ wherein each symbol is as defined above,

provided that when [X and Y are oxygen at ms and] ----- is a single bond, R⁴ is not an

acyl,

or a salt thereof

with a pharmaceutically acceptable excipient, carrier or diluent.

26. (TWICE AMENDED) A method of claim 25, which is a method for treating Alzheimer's disease[, Parkinson's disease, amyotrophic lateral sclerosis, Huntington's chorea or diabetic neuropathy].

28. (TWICE AMENDED) A method of claim 22, which is a method for treating Alzheimer's disease[, Parkinson's disease, amyotrophic lateral sclerosis, Huntington's chorea or diabetic neuropathy].

REMARKS

I. Amendments

Applicants acknowledge the withdrawal of the previous final rejection (paper no. 13).

Applicants also note that claim 24 was indicated to be allowable in paper nos. 8, 10 and 15, although indication of allowability for claim 24 was not provided on the summary page for paper no. 14.

Claims 1-3, 5, 10-13, 22, 25, 26 and 28 have been amended and claims 6, 7 and 23 have been cancelled.

Attached hereto is a marked-up version of the changes made to the claims by the current amendment. The attached pages are captioned "Version with Markings to Show Changes Made".

No amendment of inventorship is necessitated by these amendments.

II. Discussion of Previously Submitted Information Disclosure Statement

Applicants filed an Information Disclosure Statement of December 2, 1999. In paper no. 8, the 1449 was attached, but several of the references were lined through. The Examiner indicated that not all references were initialed because not all references had not been received. On February 2, 2001, Applicants submitted copies of these references to the Examiner. However, these references were not acknowledged by the Examiner in a subsequent Office Action summary. Applicants request that the Examiner inform them if the copies of the references mailed February 2, 2001 were not received. If they were received, Applicants respectfully request that the Examiner provide them with an initialed form 1449.

III. Discussion of the Rejection of Claims 1-3, 5-15 and 22 under 35 U.S.C. Sec. 112, First Paragraph

Claims 1-3, 5-15 and 22 have been rejected under 35 U.S.C. Sec. 112, first paragraph. The Examiner stated that the specification does not reasonably provide enablement for Y being other than O, R⁴ being other than moieties indicated on pages 103-105 and R³ being other than

phenyl substituted with a dimethyl group. Although claim 25 is not presently rejected under this rejection, Applicants have addressed it also, as it is an independent claim.

By this amendment, Applicants have amended claims 1, 22, and 25 to limit X and Y to oxygen. Moreover, claims 6 and 7 have been cancelled in connection with this limitation. In conjunction with the limitations of X and Y, Applicants have amended the title of their invention, commensurate with the scope of the claims. Applicants submit that these amendments render the claims enabled as to X and Y.

As to R^3 , Applicants have synthesized compounds representing a broader range of substituents than just the "phenyl substituted with a dimethyl group" which the Examiner has indicated is enabled. On pages 103-105, substituent c represents R^3 of the claims 1 and 25 and R^{3a} of claim 22. In Examples 3 and 31, c = H; in Example 28, c is unsubstituted phenyl and in Examples 2 and 6-8, substituents of phenyl other than a dimethyl group are exemplified. Therefore, Applicants submit that in claims 1 and 25, R^3 as an unsubstituted or substituted aromatic group is enabled. In claim 22, R^{3a} has been limited to hydrogen or an unsubstituted or substituted aromatic group by this amendment. Applicants therefore submit that claims 1, 22 and 25 are enabled as to R^3 or R^{3a} .

As to R^4 and R^{4a} , the Examiner has indicated that only moieties disclosed on pages 103-105 are enabled. On pages 103-105, R^4 and R^{4a} are represented by substituents d, e, f and g in the generic structure. In the claims as amended, X represents oxygen. So in Examples 20 and 21, substituent e, examples of R^4 as an aromatic group are provided; in Examples 15, 23 and 24, substituent e, examples of R^4 as an aliphatic hydrocarbon group substituted by an unsubstituted or substituted aromatic group are provided; and in Examples 26 and 28, substituent e, examples of R^4 as acyl are provided. Applicants apologize for any confusion which the labeling of substituents as R^4 or R^{4a} as d, e, f or g in the structures on pages 103-105 has caused the Examiner, but do assert that the claimed R^4 or R^{4a} substituents are in fact fully enabled as explained above.

As claims 2, 3 and 5-15 are dependent upon claim 1, Applicants submit that the more specific dependent claims are also adequately enabled. As each of the rejected claims are indeed enabled by the specification, Applicants respectfully request withdrawal of the Sec. 112, first paragraph rejection.

IV. Discussion of the Rejection of Claims 23 and 26-28 under 35 U.S.C. 112, First Paragraph

Claims 23 and 26-28 have been rejected under 35 U.S.C. Sec. 112, first paragraph. The Examiner stated that the specification does not reasonably provide enablement for Y being other than O, R⁴ being other than moieties indicated on pages 103-105 and R³ being other than phenyl substituted with a dimethyl group.

As explained in Section III above, Applicants believe that the definitions for Y, R^{3a} and R^{4a} are enabled in the claims as amended. Applicants hereby incorporate their previous arguments. Applicants note that claim 27 was cancelled in a previous amendment, and further note that claim 26 depends upon claim 25, which does not contain R^{3a} or R^{4a}.

By this amendment, Applicants have cancelled claim 23, a use claim. Also by this amendment, Applicants have limited claims 26 and 28 to Alzheimer's disease. In the experimental section of their specification, Applicants proved that exemplary compounds suppressed beta-amyloid toxicity. It is well-recognized in the art that compounds which exhibit beta-amyloid toxicity suppressing effects are effective for the treatment of Alzheimer's disease. One illustrative teaching is provided as Appendix A ("Beta-Amyloid as a Target for Alzheimer's Disease Therapy" in Annual Reports in Medicinal Chemistry-34, pages 21-30), for the Examiner's reference. Additionally, the specification (at page 2, line 3 through page 4, line 6) emphasizes the connection of beta-amyloid to treatment of Alzheimer's disease. Therefore, Applicants submit that the compounds claimed are fully enabled, and moreover that the use of the compounds against Alzheimer's disease as claimed in claims 26 and 28 is also fully enabled.

Therefore, Applicants respectfully request withdrawal of the Sec. 112, first paragraph rejection.

V. Discussion of Rejection of Claims 1-3, 5-15, 22-26 and 28 under 35 U.S.C. Sec. 112, Second Paragraph

Claims 1-3, 5-15, 22-26 and 28 have been rejected under 35 U.S.C. Sec. 112, second paragraph as allegedly indefinite for failing to particularly point out and distinctly claim the subject matter which Applicants regard as the invention. Specifically, the Office Action stated that the phrase "may be" was indefinite.

By this amendment, the claims have been amended to remove "may be". Therefore Applicants respectfully request withdrawal of the 35 U.S.C. Sec. 112, second paragraph rejection.

VI. Conclusion

Reconsideration of the claims as amended and allowance is requested.

Should the Examiner believe that a conference with Applicants' attorney would advance prosecution of this application, she is respectfully requested to call Applicants' attorney at (847) 383-3391.

Respectfully submitted,

Dated: February 7, 2002

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